# This Page Is Inserted by IFW Operations and is not a part of the Official Record

## **BEST AVAILABLE IMAGES**

Defective images within this document are accurate representations of the original documents submitted by the applicant.

Defects in the images may include (but are not limited to):

- BLACK BORDERS
- TEXT CUT OFF AT TOP, BOTTOM OR SIDES
- FADED TEXT
- ILLEGIBLE TEXT
- SKEWED/SLANTED IMAGES
- COLORED PHOTOS
- BLACK OR VERY BLACK AND WHITE DARK PHOTOS
- GRAY SCALE DOCUMENTS

## IMAGES ARE BEST AVAILABLE COPY.

As rescanning documents will not correct images, please do not report the images to the Image Problem Mailbox.

### What is claimed is:

5

15

### 1. A compound having the Formula I:

$$Y^{\mu} = \sum_{S}^{O} N - (CX^{1}X^{2})_{n} - X$$

or a pharmaceutically acceptable salts thereof, wherein:

Y is 
$$R^2 - N$$
  $R^5$ , or  $R^1$ , or  $R^2$ 

each n is independently 1 to 3 inclusive;

10 X1 and X2 are independently hydrogen or C1-C8 alkyl, or -(CH2)y-Z; y is 0 to 4 inclusive;

Z is hydrogen, C<sub>1</sub>-C<sub>8</sub> alkyl, C<sub>3</sub>-C<sub>8</sub> cycloalkyl, C<sub>1</sub>-C<sub>8</sub> perfluoroalkyl, C<sub>2</sub>-C<sub>8</sub> alkenyl, phenyl, substituted phenyl, naphthyl, substituted naphthyl, -OH, -OC<sub>1</sub>-C<sub>8</sub> alkyl, -SC<sub>1</sub>-C<sub>8</sub> alkyl, -SO<sub>3</sub>H, -CO<sub>2</sub>H, -CO<sub>2</sub>C<sub>1</sub>-C<sub>8</sub> alkyl,

O O O O 
$$\parallel$$
  $\parallel$   $\parallel$  -CHN<sub>2</sub>, -CNH(C<sub>1</sub>-C<sub>8</sub>alkyl), -CN(C<sub>1</sub>-C<sub>8</sub>alkyl)<sub>2</sub>, -NH<sub>2</sub>, -NH(C<sub>1</sub>-C<sub>8</sub>alkyl),

0

-N(C<sub>1</sub>-C<sub>8</sub>alkyl)<sub>2</sub>, -NCC<sub>1</sub>-C<sub>8</sub> alkyl, guanidinyl, thienyl, imidazolyl, thiazolyl, or indolyl;

 $R^1$  and  $R^2$  are independently  $C_1$ - $C_8$ alkyl or - $(CH_2)_n$ - $C_3$ - $C_6$ cycloalkyl, - $(CH_2)_n$ -phenyl, or  $R^1$  and  $R^2$  taken together with the nitrogen atom to which they are attached form a cyclic structure selected from

$$-N$$
 $R^3$ 
 $CH_2)_m$ 
 $R^4$ 

$$\mathbb{R}^3$$
, or  $\mathbb{R}^3$ 

10

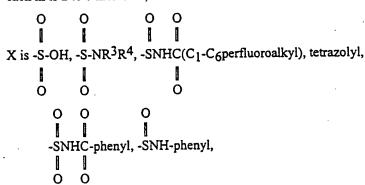
5

where  $R^3$  and  $R^4$  independently are hydrogen,  $C_1$ - $C_8$  alkyl, - $(CH_2)_n$ phenyl, or - $(CH_2)_n$  cycloalkyl;

 $R^5$  is hydrogen,  $C_1$ - $C_8$  alkyl, halogen, or - $CF_3$ ;

each m is 2 to 8 inclusive;

15



20

- 2. A compound in accordance with Claim 1 wherein  $R^1$  is methyl, and  $R^2$  is pentyl or hexyl.
- 3. A compound in accordance with Claim 1 wherein the  $R^1$  group is  $R^2$

located at the para position on the phenyl ring.

30

	4.	the compounds:
		(Z) 2-{5-[4-(Hexyl-methyl-amino)-benzylidene]-4-oxo-2-thioxo-
		thiazolidin-3-yl}-ethanesulfonic acid;
		(Z) 2-{5-[4-(Hexyl-methyl-amino)-benzylidene]-4-oxo-2-thioxo-
5		thiazolidin-3-yl}-ethanesulfonic acid methylamide;
		(Z) 2-{5-[4-(Hexyl-methyl-amino)-benzylidene]-4-oxo-2-thioxo-
		thiazolidin-3-yl}-ethanesulfonic acid trifluoroacetyl-amide;
		(Z) 2-{5-[4-(Hexyl-methyl-amino)-benzylidene]-4-oxo-2-thioxo-
		thiazolidi3-yl}-N-methyl-acetamide;
10		(Z) N-({5-[4-(Hexyl-methyl-amino)-benzylidene]-4-oxo-2-thioxo-
		thiazolidin-3-yl}-acetyl)-methanesulfonamide;
		(Z) N-{5-[4-(Dipentylamino-benzylidene)-4-oxo-2-thioxo-
	•	thiazolidin-3-yl]-acetyl}-methanesulfonamide;
		(Z) C,C,C-Trifluoro-N-({5-[4-(hexyl-methyl-amino)-benzylidene]-
15		4-oxo-2-thioxo-thiazolidin-3-yl}-acetyl)-methanesulfonamide;
		(Z) N-{5-[4-(Dipentylamino-benzylidene)-4-oxo-2-thioxo-
		thiazolidin-3-yl]-acetyl}-C,C,C-trifluoro-methanesulfonamide;
	•	(Z) N-(2-{5-[4-(hexyl-methyl-amino)-benzylidene]-4-oxo-2-
		thioxo-thiazolidin-3-yl}-acetyl)-benzenesulfonamide;
20		(Z) N-(2-{5-[4-(Hexyl-methyl-amino)-benzylidene]-4-oxo-2-
•		thioxo-thiazolin-3-yl}-ethyl)-methanesulfonamide;
		(Z) N-(2-{5-[4-(Hexyl-methyl-amino)-benzylidene]-4-oxo-2-
		thioxo-thiazolidin-3-yl}-ethyl)-benzenesulfonamide;
		(Z) C,C,C-Trifluoro-N-(2-{5-[4-(hexyl-methyl-amino)-
25		benzylidene]-4-oxo-2-thioxo-thiazolidin-3-yl}-ethyl)-
		methanesulfonamide;
		(Z) 2,2,2-Trifluoro-N-(2-{5-[4-(hexyl-methyl-amino)-
•		benzylidene]-4-oxo-2-thioxo-thiazolidin-3-yl}-ethyl)-acetamide;
		(Z) N-(2-{5-[4-Hexyl-methyl-amino)-benzylidene]-4-oxo-2-
30		thioxo-thiazolidin-3-yl}ethyl)-acetamide;
		(7) (5-[A-(Heyyl-methyl-aming)-henzylidenel-4-gyg-2-thigyg-

thiazolidin-3-yl}-methanesulfonic acid;

	(Z) 5-[4-(Hexyl-methyl-amino)-benzylidene]-3-(1H-tetrazol-5-
	ylmethyl)-2-thioxo-thiazolidin-4-one;
	(Z) 5-(4-Dipentylamino-benzylidene)-3-(1H-tetrazol-5-ylmethyl)-
	2-thioxo-thiazolidin-4-one;
5	(Z) N-{[5-(4-Dibutylamino-benzylidene)-4-oxo-2-thioxo-
	thiazolidin-3-yl]-acetyl}-C,C,C-trifluoro-methanesulfonamide;
	(Z) N-{[5-(4-Dibutylamino-benzylidene)-4-oxo-2-thioxo-
	thiazolidin-3-yl]-acetyl}-benzenesulfonamide;
	(Z) 5-(4-Dibutylamino-benzylidene)-3-(1H-tetrazol-5-ylmethyl)-2
10	thioxo-thiazolidin-4-one;
	(Z) N-{2-[5-(4-Dibutylamino-benzylidene)-4-oxo-2-thioxo-
	thiazolidin-3-yl]-acetyl}-methanesulfonamide;
•	(Z) N-{2-[5-(4-Dipentylamino-benzylidene)-4-oxo-2-thioxo-
	thiazolidin-3-yl]-acetyl}-benzenesulfonamide;
15	(Z) 5-[(4aS,8aR)-4-(Octahydro-isoquinolin-2-yl)-benzylidene]-3-
	(1H-tetrazol-5-ylmethyl)-2-thioxo-thiazolidin-4-one;
	(Z) N-(2-{5-[(4aS,8aR)-4-(Octahydro-isoquinolin-2-yl)-
	benzylidene]-4-oxo-2-thioxo-thiazolidin-3-yl}-acetyl)-
	benzenesulfonamide;
20	(Z) N-{2-[5-(4-Dibutylamino-benzylidene)-4-oxo-2-thioxo-
	thiazolidin-3-yl]-acetyl}-4-fluoro-benzenesulfonamide;
	(Z) 2-[5-(4-Dibutylamino-benzylidene)-4-oxo-2-thioxo-thiazolidir
	3-yl]-ethanesulfonic acid 4-fluoro-benzoylamide;
	(Z) N-{2-[5-(4-Dipentylamino-benzylidene)-4-oxo-2-thioxo-
25	thiazolidin-3-yl]-acetyl}-4-fluoro-benzenesulfonamide;
	(Z) 2-[5-(4-Dibutylamino-benzylidene)-4-oxo-2-thioxo-thiazolidin
	3-yl]-ethanesulfonic acid benzoylamide;
	(Z) 2-{5-[4-(Octahydro-isoquinolin-2-yl)-benzylidene]-4-oxo-2-
	thioxo-thiazolidin-3-yl}-ethanesulfonic acid benzoylamide;
30	(Z) 2-{5-[4-(Octahydro-isoquinolin-2-yl)-benzylidene]-4-oxo-2-
•	thioxo-thiazolidin-3-yl}-ethanesulfonic acid 4-fluoro-benzoylamide;
	(Z) 2-[5-(4-Dipentylamino-benzylidene)-4-oxo-2-thioxo-
	thiazolidin-3-yl]-ethanesulfonic acid 4-fluoro-benzoylamide;

	(Z) 3-(5-Hydroxy-4-oxo-4H-pyran-2-ylmethyl)-5-[4-(octahydro-
	isoquinolin-2-yl)-benzylidene]-2-thioxo-thiazolidin-4-one;
	(Z) 5-(4-Dibutylamino-benzylidene)-3-(5-hydroxy-4-oxo-4H-
	pyran-2-ylmethyl)-2-thioxo-thiazolidin-4-one;
5	(Z) 3-(5-Hydroxy-4-oxo-4H-pyran-2-ylmethyl)-5-[4-(4-propyl-
	piperidin-1-yl)-benzylidene]-2-thioxo-thiazolidin-4-one;
	(Z) 5-[4[(4-Propyl-piperidin-1-yl)-benzylidene]-3-(1H-tetrazol-5-
	ylmethyl)-2-thioxo-thiazolidin-4-one;
	(Z) N-(2-{4-Oxo-5-[4-(4-propyl-piperidin-1-yl)-benzylidene]-2-
10	thioxo-thiazolidin-3-yl}-acetyl)-benzenesulfonamide;
	(Z) N-(2-{4-Oxo-5-[4-(4-propyl-piperidin-1-yl)-benzylidene]-2-
	thioxo-thiazolidin-3-yl}-acetyl)-methanesulfonamide;
	(Z) 4-Fluoro-N-(2-{5-[(4aS,8aR)-4-(octahydro-isoquinolin-2-yl)-
	benzylidene]-4-oxo-2-thioxo-thiazolidin-3-yl}-acetyl)-
15	benzenesulfonamide;
	(Z) 4-Fluoro-N-(2-{4-oxo-5-[4-(4-propyl-piperidin-1-yl)-
	benzylidene]-2-thioxo-thiazolidin-3-yl}-acetyl)-benzenesulfonamide;
	(Z) 2-[5-(4-Hexyl-methyl-amino-benzylidene)-4-oxo-2-thioxo-
	thiazolidin-3-yl]-ethanesulfonic acid 4-fluoro-benzoylamide;
20	(Z) N-({5-[4[(Octahydro-isoquinolin-2-yl)-benzylidene]-4-oxo-2-
	thioxo-thiazolidin-3-yl}-acetyl)-methanesulfonamide;
	(Z) N-({5-[4[(Octahydro-isoquinolin-2-yl)-benzylidene]-4-oxo-2-
	thioxo-thiazolidin-3-yl}-acetyl)-C,C,C-trifluoro-methanesulfonamide;
	(Z) N-(2-{4-Oxo-5-[4-(4-propyl-piperidin-1-yl)-benzylidene]-2-
25	thioxo-thiazolidin-3-yl}-acetyl)-C,C,C-trifluoro-methanesulfonamide;
	(Z) 2-[5-(4-Dibutylamino-benzylidene)-4-oxo-2-thioxo-thiazolidin
	3-yl]-ethanesulfonic acid methylamide;
	(Z) 2-[5-(4-Dipentylamino-benzylidene)-4-oxo-2-thioxo-
	thiazolidin-3-yl]-ethanesulfonic acid methylamide;
30	(Z) 2-[5-(4-Hexyl-methyl-amino-benzylidene)-4-oxo-2-thioxo-
	thiazolidin-3-yl]-ethanesulfonic acid methylamide;
	(Z) N-2-{4-Oxo-5-[4-(4-propyl-piperidin-1-yl)-benzylidene]-2-
	thioxo-thiazolidin-3-yl}-ethanesulfonic acid methylamide;

	(Z) 2-{5-[4-(octahydro-isoquinolin-2-yl)-benzylidene]-4-oxo-2-
	thioxo-thiazolidin-3-yl}S-ethanesulfonic acid methylamide;
	(Z) 2-{5-[4-(Octahydro-isoquinolin-2-yl)-benzylidene]-4-oxo-2-
	thioxo-thiazolidin-3-yl}S-ethanesulfonic acid trifluoroacetylamide;
5	(Z) N-2-{4-Oxo-5-[4-(4-propyl-piperidin-1-yl)-benzylidene]-2-
	thioxo-thiazolidin-3-yl}-ethanesulfonic acid trifluoroacetylamide;
	(Z) 2-[5-(4-Dipentylamino-benzylidene)-4-oxo-2-thioxo-
	thiazolidin-3-yl]-ethanesulfonic acid trifluoroacetylamide;
	(Z) 2-[5-(4-Dibutylamino-benzylidene)-4-oxo-2-thioxo-thiazolidin-
10	3-yl]-ethanesulfonic acid trifluoroacetylamide;
-	(Z) 2-[5-(4-Dipentylamino-benzylidene)-4-oxo-2-thioxo-
	thiazolidin-3-yl]-ethanesulfonic acid benzoylamide;
	(Z) 2-[5-(4-Hexyl-methyl-benzylidene)-4-oxo-2-thioxo-thiazolidin-
	3-yl]-ethanesulfonic acid benzoylamide;
15	(Z) N-2-{4-Oxo-5-[4-(4-propyl-piperidin-1-yl)-benzylidene]-2-
	thioxo-thiazolidin-3-yl}-ethanesulfonic acid benzoylamide;
	(Z) N-2-{4-Oxo-5-[4-(4-propyl-piperidin-1-yl)-benzylidene]-2-
	thioxo-thiazolidin-3-yl}-ethanesulfonic acid 4-fluoro-benzoylamide;
	(Z) 2-[5-(4-Hexyl-methyl-benzylidene)-4-oxo-2-thioxo-thiazolidin-
20	3-yl]-ethanesulfonic acid 4-fluoro-benzoylamide;
	(Z) [5-(4-Hexyl-methyl-amino)-benzylidene]-3-(5-oxo-4,5-
	dihydro-[1,2,4]oxadiazol-3-ylmethyl)-2-thioxo-thiazolidin-4one;
	(Z) [5-(4-Propyl-piperidin-1-yl)-benzylidene]-3-(5-oxo-4,5-
•	dihydro-[1,2,4]oxadiazol-3-ylmethyl)-2-thioxo-thiazolidin-4one;
.25	(Z) [5-(4-Octahydro-isoquinolin-2-yl)-benzylidene]-3-(5-oxo-4,5-
	dihydro-[1,2,4]oxadiazol-3-ylmethyl)-2-thioxo-thiazolidin-4one;
	(Z) 5-(4-Dipentylamino-benzylidene)-3-(5-oxo-4,5-dihydro-
	[1,2,4]oxadiazol-3-ylmethyl)-2-thioxo-thiazolidin-4-one; or
	(Z) 5-(4-Dibutylamino-benzylidene)-3-(5-oxo-4,5-dihydro-
30	[1,2,4]oxadiazol-3-ylmethyl)-2-thioxo-thiazolidin-4-one.

A pharmaceutical composition comprising a compound of Claim 1.

- 6. A method of treating Alzheimer's disease, the method comprising administering to a patient having Alzheimer's disease a therapeutically effective amount of a compound of Claim 1.
- A method of inhibiting the aggregation of amyloid proteins to form
   amyloid deposits, the method comprising administering to a patient in need of inhibition of the aggregation of amyloid proteins an amyloid protein aggregation inhibiting amount of a compound of Claim 1.
  - 8. A method of imaging amyloid deposits, the method comprising the steps of:
  - a. introducing into a patient a detectable quantity of a labeled compound of Claim 1;

10

15

- allowing sufficient time for the labeled compound to become associated with amyloid deposits; and
- detecting the labeled compound associated with the amyloid deposits.
- The method of Claim 8 wherein the patient has or is suspected to have
   Alzheimer's disease.
- 10. The method of Claim 8 wherein the labeled compound is a radiolabeled compound.
- 20 11. The method of Claim 8 herein the labeled compound is detected using MRI.